

**ABSTRACT**

The present invention provides a method of producing a bisubstrate inhibitor in a cell, comprising introducing into the cell an alkylating derivative of an acetyl acceptor substrate for an acetyltransferase present in the cell. Further provided is a method of inhibiting the activity of an acetyltransferase in a cell, comprising introducing into the cell an alkylating derivative of an acetyl acceptor substrate for an acetyltransferase present in the cell under conditions whereby a bisubstrate inhibitor will be produced, thereby inhibiting the activity of the acetyltransferase in the cell.